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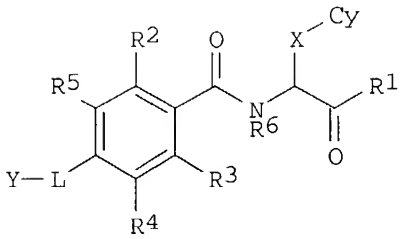
Inventors

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:575072 CAPLUS
DOCUMENT NUMBER: 137:125395
TITLE: Preparation of amino acid derivatives as LFA-1 antagonists
INVENTOR(S): Burdick, Daniel J.; Gadek, Thomas R.; Marsters, James C., Jr.; Oare, David; Reynolds, Mark E.; Stanley, Mark S.
PATENT ASSIGNEE(S): Genentech, Inc., USA
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059114	A1	20020801	WO 2001-US44203	20011126
WO 2002059114	C2	20021017		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002119994	A1	20020829	US 2001-994546	20011126
US 6667318	B2	20031223		
EP 1347968	A1	20031001	EP 2001-997016	20011126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003002382	A	20030709	NO 2003-2382	20030527
US 2004058968	A1	20040325	US 2003-618178	20030711

PRIORITY APPLN. INFO.: US 2000-253682P P 20001128
US 2001-994546 A1 20011126
WO 2001-US44203 W 20011126

OTHER SOURCE(S): MARPAT 137:125395
GI



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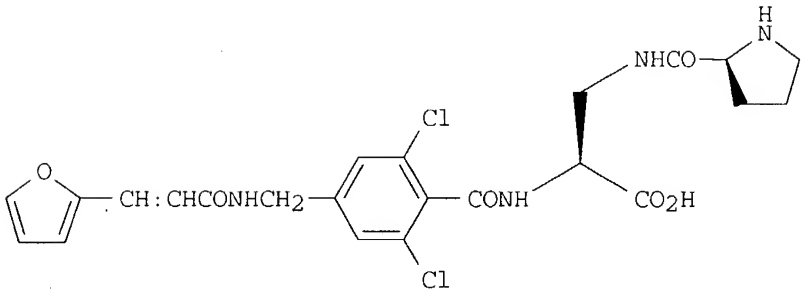


II

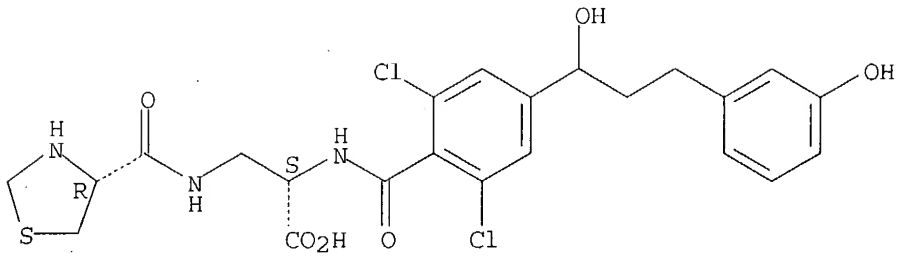
AB The invention relates to novel compds. I [Cy is an optionally substituted non-aromatic carbocycle or heterocycle; X is an optionally substituted divalent hydrocarbon chain; Y is an optionally substituted carbocycle or heterocycle; L is a bond or an optionally substituted divalent hydrocarbon chain; R1 = H, OH, amino, O-carbocycle or alkoxy optionally substituted with amino, a carbocycle or heterocycle; R2-R5 = H, hydroxy, mercapto, halo, cyano, amino, amidine, guanidine, nitro, or alkoxy; or R3 and R4 together form a fused carbocycle or heterocycle which may be substituted; R6 is H or a hydrocarbon chain optionally substituted with a carbocycle or a heterocycle (with the proviso that when Y is Ph, R2, R4 and R5 are H, R3 is Cl and R1 is OH, then X is other than cyclohexyl)] which bind CD11/CD18 adhesion receptors such as lymphocyte function-associated antigen-1 (LFA-1) and are therefore useful for treating disorders mediated by LFA-1, e.g, inflammation. The syntheses of compds. I is described in 10 schemes and biol. test data are tabulated for 20 compds. Compound II showed IC50 = 0.004 μM in the LFA-1 PLM2 assay and 98.3% plasma protein binding.

IT **444169-89-5P 444169-90-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of furylacrylamidomethyldichlorobenzoyl amino acid derivs. as LFA-1 antagonists)

RN 444169-89-5 CAPLUS

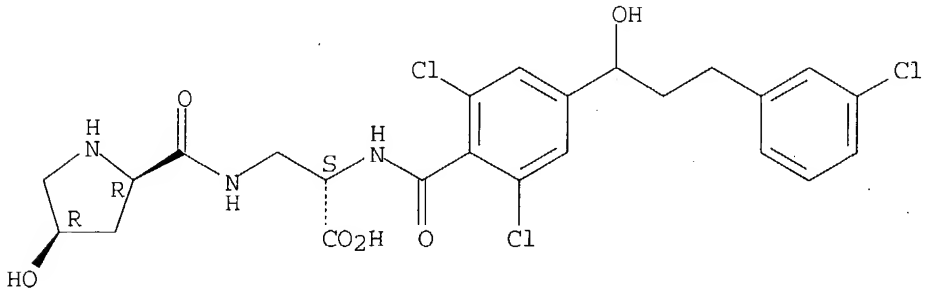
CN L-Alanine, N-[2,6-dichloro-4-[1-hydroxy-3-(3-hydroxyphenyl)propyl]benzoyl]-3-[[(4R)-4-thiazolidinylcarbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 444169-90-8 CAPLUS
CN β-Alanine, (4R)-4-hydroxy-D-prolyl-2-[[2,6-dichloro-4-[3-(3-chlorophenyl)-1-hydroxypropyl]benzoyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d re 1-2

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
RE
(1) Abbott Lab; WO 0039081 A 2000 CAPLUS
(2) Zheng, Z; WO 9804247 A 1998 CAPLUS

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(FILE 'HOME' ENTERED AT 10:20:27 ON 13 APR 2004)

FILE 'REGISTRY' ENTERED AT 10:20:37 ON 13 APR 2004
L1 STRUCTURE UPLOADED
L2 1 S L1
L3 2 S L1 FULL

FILE 'CAPLUS' ENTERED AT 10:21:35 ON 13 APR 2004
L4 1 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR

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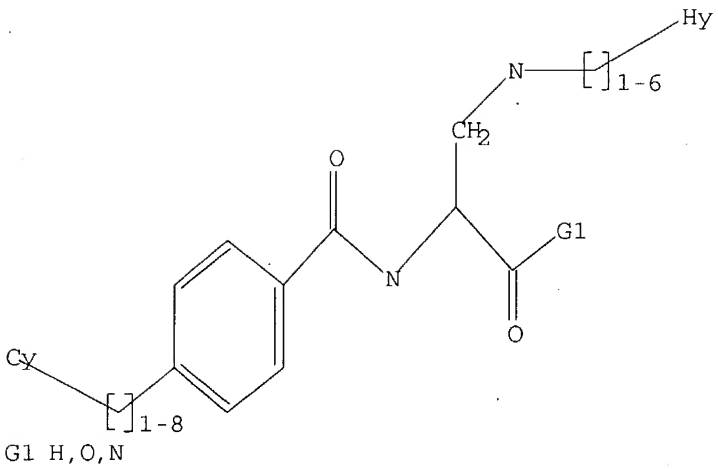

Structure attributes must be viewed using STN Express query preparation.

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